

Claims 1, 2, and 3 are objected to for allegedly constituting improper joinder of inventions. The claims have been amended to encompass only the elected group. Accordingly, Applicants respectfully request reconsideration and withdrawal of the objection to the claims.

III. Rejections under 35 U.S.C. § 112, fifth paragraph

Claims 1-6 and 8 stand rejected under 35 U.S.C. § 112, fifth paragraph, as allegedly being improper multidependent claims. The claims have been amended and are not improper. Accordingly, Applicants respectfully request reconsideration and withdrawal of the rejection.

IV. Rejections under 35 U.S.C. § 102

Claims 1-8 and 13 appear to be rejected under 35 U.S.C. § 102(b) as allegedly being anticipated by U.S. Pat. No. 3,910,907 (hereinafter "O'Brien"). Applicants traverse the rejection because O'Brien fails to teach or suggest all the elements of the claimed subject matter.

The standard for anticipation under §102(b) is one of strict identity. An anticipation rejection requires a showing that each limitation of a claim be found in a single reference, *Atlas Powder Co. v. E.I. DuPont de Nemours & Co.*, 224 U.S.P.Q. 409, 411 (Fed. Cir. 1984).

O'Brien does not anticipate the present invention because the reference fails to teach or suggest a compound encompassed by the present claims. Further, O'Brien fails to teach or suggest the genus of the present claims. Even further, the genus of compounds reported in O'Brien does not anticipate the present claims because it is sufficiently large (more than 1000 compounds!) that one skilled in the art could not "at once envisage" each of the species of the genus. See, e.g., *Ex parte A*, 17 U.S.P.Q.2d 171 (Bd. Pat. App. Inter. 1990). Thus, O'Brien fails to teach or suggest all the elements of the claimed invention.

Because the reference fails to teach or suggest all the elements of the claimed invention, the claims are not anticipated. Accordingly, Applicants respectfully request reconsideration and withdrawal of the rejection of the claims.

IV. Rejections under 35 U.S.C. § 103

Claims 1-8 and 13 stand rejected under 35 U.S.C. § 103 as allegedly being obvious over O'Brien. Applicants traverse the rejection because *prima facie* obviousness is not met.

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To establish *prima facie* obviousness, three basic criteria must be met. First, there must be some suggestion or motivation to modify the reference to produce the claimed invention. Second, there must be a reasonable expectation of success. Third, the prior art reference (or combined references) must teach or suggest all the claim limitations.

Prima facie obviousness is not established because the Office Action fails to show that the above three criteria have been met.

Even if the Office Action had attempted to show *prima facie* obviousness, it would not have been able to because O'Brien does not provide any incentive or motivation that would impel one of ordinary skill in the art to modify the genus of compounds therein to produce the genus of compounds of the present invention. For example, the majority of "preferred" compounds of O'Brien are compounds in which Z is a moiety that is *not* aryl or heteroaryl...whereas the present compounds recite aryl and heteroaryl moieties for the corresponding position (R³). Additionally, the majority of "preferred" compounds of O'Brien are compounds in which Y is an aryl moiety... whereas the corresponding position (R¹) of the claimed compounds does not include aryl. Thus, O'Brien provides no motivation for modifying the genus therein to produce the present invention.

Additionally, as there is no motivation for modifying the genus of compounds reported in O'Brien, there can be no reasonable expectation of success (i.e., there can't be success if there is no goal).

As discussed above in section III, O'Brien fails to teach or suggest all the elements of the present invention. Accordingly, *prima facie* obviousness cannot be established.

Further, the O'Brien reference is inappropriately used because it is non-analogous art. "In order to rely on a reference as a basis for rejection of an applicant's invention, the reference must either be in the field of applicant's endeavor, or if not, then be reasonably pertinent to the particular problem with which the invention was concerned." *In re Oetiker*, 977 F.2d 1443, 1446, 24 U.S.P.Q.2d 1443, 1445 (Fed. Cir. 1992). The field of the present invention pertains to antagonists of CRF receptors for the treatment of CRF related disorders. In contrast, the field of O'Brien pertains to inhibitors of 3',5'-C-AMP phosphodiesterase, which is not pertinent to CRF receptor antagonists. One skilled in the art of CRF antagonists would not be concerned with

AMP phosphodiesterase inhibitors. Accordingly, O'Brien is non-analogous art and inappropriately applied in this rejection.

Because *prima facie* obviousness is not shown, the claims cannot be rejected as obvious. Accordingly, Applicants respectfully request reconsideration and withdrawal of the rejection of the claims.

VI. Rejections under 35 U.S.C. § 112, first and second paragraph

Claim 1 stands rejected under 35 U.S.C. § 112, first and second paragraph, as allegedly being indefinite and non-enabled for reciting "a heterocyclic ring having 1-3 heteroatoms selected from O, N or S." Applicants traverse the rejection because the claims are clear and definite as well as enabled.

The proper inquiry, when determining whether a claim satisfies the requirements of 35 U.S.C. § 112, second paragraph, is a determination "whether those skilled in the art would understand what is claimed when the claim is read in light of the specification." *Orthokinetics Inc. v. Safety Travel Chairs, Inc.*, 1 U.S.P.Q.2d 1081, 1088 (Fed. Cir. 1986). Thus, if those skilled in the art can understand what is claimed when the claim is read in light of the specification, a rejection under 35 U.S.C. § 112, second paragraph, is inappropriate.

Those skilled in the art would readily understand what compounds are encompassed by a "heterocyclic ring having 1-3 heteroatoms selected from O, N or S" without reference to a particular size of ring or combination of heteroatoms. For example, one skilled in the art would recognize a heterocycle independent of its size because the defining characteristic is that it is a cyclic moiety with including 1-3 heteroatoms. The number of atoms is irrelevant in determination of a heterocycle.

The Office Action further suggests that the claim is unclear because the heterocycles include unstable combinations of atoms, however, one skilled in the art would be able to recognize (as did the Examiner) prior to making the compounds that certain combinations of heteroatoms are unstable by merely applying basic chemical principles. Claims are not necessarily invalid even if they encompass some inoperative embodiments (see, e.g., *Atlas Powder Co. v. E.I. duPont de Nemours & Co.*, 750 F.2d 1569, 224 U.S.P.Q. 409 (Fed. Cir. 1984)). Applicants have recognized that a large number of heterocycles are appropriate to the

invention and have claimed the subject matter accordingly. Were the Applicants to claim the subject matter in the manner inferred by the Office Action, the claim would be exceeding long and tedious, listing each possible heterocycle and providing for exclusion of potentially unstable moieties. Thus, the claim is clear and definite within the patent laws.

The test of enablement is whether one reasonably skilled in the art could make or use the invention from the disclosures in the patent coupled with information known in the art without undue experimentation. *United States v. Teletronics, Inc.*, 857 F.2d 778, 785, 8 U.S.P.Q.2d 1217, 1223 (Fed. Cir. 1988).

The claims are well enabled by the specification because one skilled in the art would not be required to carry out undue experimentation to practice the invention. The Office Action appears to incorrectly imply that undue experimentation would be required to make unknown heterocycles. However, the Office Action fails to provide an example of even one unknown heterocycle that would require such experimentation. Accordingly, the Office Action has not shown non-enablement of the claims.

Even if unknown heterocycles were encompassed by the claims, any experimentation required to make such heterocycles would not be undue because the art typically engages in such experimentation. *In re Certain Limited Charge Cell Culture Microcarriers*, 221 U.S.P.Q. 1165, 1174 (Int'l Trade Comm'n 1983), *aff'd. sub nom., Massachusetts Institute of Technology v. A.B. Fortia*, 774 F.2d 1104, 227 U.S.P.Q. 428 (Fed. Cir. 1985). See, for example, any volume of the *Journal of Heterocyclic Chemistry* for an example of what the art typically engages in with respect to the chemistry of heterocycles.

Because no undue experimentation is needed to practice the claimed invention, the claims are enabled. Accordingly, Applicants respectfully request reconsideration and withdrawal of the rejection of the claims.

VII. Other

The Office Action appears to provide arguments that the claims should be rejected under 35 U.S.C. 103 as allegedly being obvious over the compounds falling within the provisos of claim 1; however, no rejection is made outright. Should a rejection be made along these lines,

Applicants respectfully traverse because the rejection would be improper and *prima facie* obviousness cannot be established.

The Office Action appears to incorrectly assert that the claims are obvious in view of the compounds of the provisos in claim 1 on the assumption that these compounds are in the prior art. The Office Action also incorrectly states that rejections are based on compounds, not their citations. This statement is not true because a compound by itself is not sufficient to make a rejection under either section 102 or 103 of the patent laws. The prior art must also show that the compound is enabled (i.e., there must be some teaching that shows how the compounds are made and used). Thus, the proposed rejection cannot be based merely on the compounds encompassed by the provisos.

Further, the Office Action improperly assumes that because certain compounds are excluded from the claims that there must be prior art directed to those compounds. The Office Action has failed to consider that a proviso can also function to exclude compounds that are inoperable with respect to the primary recited utility.

The Office Action further alludes to Rule 105 in connection with the assumed prior art references, but no outright request for information is made. Applicants have provided relevant art in the Information Disclosure Statement filed on even date with this application (November 21, 2001). For example, compounds falling within provisos f, g, h, and i are the subject of Strohmeyer, *et al.*, *J. Het. Chem.*, 1985, 22, 7-10.


The Office Action further incorrectly argues that the compounds of the provisos can render the claims obvious because of structural similarity. While the courts have ruled that structural similarity between compounds can provide the requisite motivation to modify the prior art compounds, the premise underlying this derives from the expectation of one skilled in the art that such compounds will have similar properties. Where the prior art does not teach the utility asserted for the claimed compound, the expectation may not arise, and there would be no motivation. See, *e.g.*, *In re Lahu*, 747 F.2d 703, 223 U.S.P.Q. 1257, 1260 (Fed. Cir. 1984). Because the Office Action provides no references, and no utility is established for the alleged prior art compounds, and the claims cannot be properly rejected under 35 U.S.C. 103.

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In view of the foregoing, Applicant submits that the claims as amended are in condition for allowance, and an early Office Action to that effect is earnestly solicited.

Attached hereto is a marked-up version of the changes made to the specification and claims by the current amendment. The attached page is captioned "Version with markings to show changes made."

Respectfully submitted,


Christine A. Goddard, Ph.D.
Registration No. 46,731

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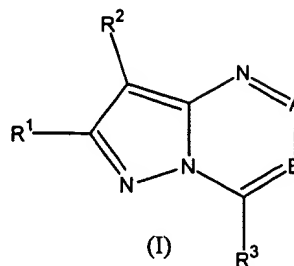
Bristol-Myers Squibb Company
Experimental Station
Bldg. E353, Suite 133B
Route 141 and Henry Clay Road
Wilmington, DE 19880
(302) 467-5263 (phone)
(302) 467-6701 (fax)

VERSION WITH MARKINGS TO SHOW CHANGES MADE

In the Claims:

The claims have been amended as follows.

1. (amended once) A compound of formula I:



or a stereoisomer or pharmaceutically [acceptable] acceptable salt thereof, wherein:

A [equals N or] is CR⁵;

B [equals] is N [or CR⁴ ,

provided that both A and B can not be N or provided that A can not be CR⁵ and B can not be CR⁴ to form a pyrazolopyrimidine];

R¹ is independently selected from the group consisting of

H,
halogen,
CN,
C₁₋₆ alkyl,
C₂₋₁₀ alkenyl,
C₂₋₁₀ alkynyl,
C₃₋₆ cycloalkyl,

B

C_{1-6} alkyloxy,
 C_{1-6} alkylS(O)_n,
 $[-NR^{1a}R^{1b}]_n - NR^{1a}R^{1b}$ wherein R^{1a} and R^{1b} are independently selected from
H, C_{1-4} alkyl, C_{3-8} cycloalkyl, $-C(O)C_{1-4}$ alkyl,
 C_{1-6} alkylNR^{1a}R^{1b},
NR^{1a}COR^{1b},
 $-C(O)NR^{1a}R^{1b}$,
 $-O-C(O)C_{1-4}$ alkyl,

$-XR^{1c}$ wherein R^{1c} is selected from H or $-C_{1-4}$ alkylaryl;

X is selected from O or S(O)_n,

wherein R^1 is substituted with 0-6 substituents selected from halogen, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-6} alkyloxy, C_{1-4} haloalkyl, C_{1-4} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl or C_{1-4} alkylsulfonyl;

R^2 is selected from the group consisting of

H, OR⁷, SH, NR⁶R⁷, C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a}, S(O)_nR¹³, COR⁷, CO₂R⁷, CHR⁶(OR⁷)R^{6a},
OC(O)R¹³, NO, NO₂, NR⁶C(O)R⁷, N(COR⁷)₂, NR⁸CONR⁶R⁷, NR⁶CO₂R⁷; or

C_{1-10} alkyl,
 C_{2-10} alkenyl,
 C_{2-10} alkynyl,
 C_{3-8} cycloalkyl,
 C_{3-6} cycloalkyl C_{1-6} alkyl,
 C_{1-10} alkyloxy,
 C_{1-10} alkyloxy C_{1-10} alkyl,
 $-SO_2-C_{1-10}$ alkyl
 $-SO_2R^{2a}$ wherein R^{2a} is aryl,
 $-SO_2R^{2b}$ wherein R^{2b} is heteroaryl,

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$[-NR^{2c}R^{2d}] -NR^{2c}R^{2d}$ wherein R^{2c} and R^{2d} are independently selected from H, C_{1-8} alkyl, $S(O)_n C_{1-4}$ alkyl, $C(O)NR^{2c}R^{2d}$, $CO_2 C_{1-4}$ alkyl, C_{3-8} cycloalkyl, C_{1-6} alkyloxy C_{1-6} alkyl, $C(O)C_{1-4}$ alkyl or R^{2c} and R^{2d} may join to form a heterocyclic ring having 0-3 heteroatoms selected from O, N or S,

- halogen,

-CN,

-C(O)-L wherein L is selected from H, $NR^{2c}R^{2d}$, C_{1-6} alkyl or

OC_{1-4} alkyl, $O(CH_2)_m OR$ wherein R is C_{1-3} alkyl,

$O(CH_2)_m -NR^{2c}R^{2d}$, OH, $C(O)OC_{1-6}$ alkyl or aryl or heteroaryl wherein m is 1-4;

-OC(O)-M wherein M is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{2-8} alkoxyalkyl, C_{3-6} cycloalkyl, C_{4-12} cycloalkylalkyl, aryl, C_{1-6} alkylaryl, heteroaryl, C_{1-6} alkylheteroaryl;

n is 0, 1 or 2; and wherein

R^2 is substituted with 0-3 substituents independently

selected from R' , R'' , R''' wherein R' , R'' and R''' are independently selected from C_{1-6} alkyl, C_{3-7} cycloalkyl, hydroxy C_{1-6} alkyl, C_{1-6} alkyloxy C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkyloxy, hydroxy, or

R^2 is substituted with 0-3 substituents independently selected from:

halogen,

-CN,

-S(O) $_n R^{2e}$ wherein R^{2e} is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, C_{3-6} cycloalkyl;

-COR 2f wherein R^{2f} is selected from H, C_{1-4} alkyl, C_{1-4}

haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, C_{3-6}

cycloalkyl, and C₃₋₆ cycloalkylC₁₋₄ alkyl;

-CO₂R^{2f},

-NR^{2g}COR^{2f} wherein R^{2g} is selected from H, C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl;

-N(COR^{2f})₂,

-NR^{2g}CONR^{2f}R^{2h}, wherein R^{2h} is selected from H, C₁₋₆ alkyl,

C₁₋₄ haloalkyl, C₁₋₄ alkoxy C₁₋₄ alkyl,

C₃₋₆ cycloalkyl and C₃₋₆ cycloalkylC₁₋₆ alkyl;

-NR^{2g}CO₂R^{2e},

-CONR^{2g}R^{2h},

1-morpholinyl,

1-piperidinyl,

1-piperazinyl,

and

C₃₋₈ cycloalkyl wherein 0-1 carbon atoms in the C₄₋₈ cycloalkyl is replaced by a group selected from

-O-, -S(O)_n-, -NR^{2g}-, -NCO₂R^{2e}, -NCOR^{2e},

and -NSO₂R^{2e}; and wherein [N₄] N^d in

1-piperazinyl is substituted with 0-1

substituents selected from R^{2g}, CO₂R^{2e}, COR^{2e} and

SO₂R^{2e}; or

the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈

alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g},

-NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g}, and C₃₋₈ cycloalkyl which is

substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈

cycloalkyl is replaced by -O-, wherein

R^{2i} is selected from aryl wherein aryl [includes] is selected from

phenyl, naphthyl, indanyl and indenyl, each

R^{2i} being substituted with 0-1 OR^{2m} and 0-5

substituents independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, -SH, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2n}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$;

R^{2j} is selected from heteroaryl wherein heteroaryl [includes] is selected from pyridyl,

pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl,

pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl,

pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl,

2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indolinyl, benzoxazolin-

2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon

atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, OR^{2m} , -SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, -

$N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heteroaryl

being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2g} ,

CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} , each

heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected

from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{2m}$, -SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$,

$-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heterocyclyl being

substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2f} , CO_2R^{2e} ,

COR^{2e} and SO_2R^{2e} ;

wherein

R^{2l} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl [and] or C_{3-8} cycloalkyl;

R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{2q}S(O)_n$ - C_{1-4} alkyl [and] or $R^{2r}R^{2s}N$ - C_{2-4} alkyl;

R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, [and] or C_{1-4} haloalkyl;

R^{2o} and R^{2p} are independently selected at each occurrence from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl and C_{1-4} haloalkyl;

R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

$R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl wherein $[N_4]$ N^4 in 1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

R^3 is [selected from] an aryl or heteroaryl group attached through an unsaturated carbon atom;

aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkyloxy- C_{1-4} alkyloxy, $-OR^{2m}$, Br, Cl, F, I, C_{1-4} haloalkyl, $-CN$, $-NO_2$, $-SH$, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $CONR^{2o}R^{2p}$;

heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted at 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, F, I, C₁₋₄ haloalkyl, -CN, NR^{2g}R^{2h}, nitro, -OR^{2m}, -SH, -S(O)_nR²ⁿ, COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p} and each heteroaryl being substituted at any nitrogen atom with 0-1 substituents selected from the group R^{2g}, CO₂R^{3a}, COR^{3a} and SO₂R^{3a} wherein,

R^{3a} is selected from the group C₁₋₆ alkyl, C₁₋₄ cycloalkyl-C₁₋₆ alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C₁₋₄ alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

R⁴ and R⁵ are independently selected at each occurrence from H, Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ and R⁵ non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆-alkyl and C₁₋₆ haloalkyl, C₁₋₆ alkyl, C₃₋₇ c-alkyl, C₁₋₆ alkyl(OH)_nCO₂R wherein R is H or C₁₋₆ alkyl, C₁₋₆ alkyl(OH)_n, wherein n is 0-3 or R⁴ and R⁵ may join together to form a C₃₋₆ alkylene chain;

R⁶, R^{6a} and R⁷ are independently selected from:

H, C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₃₋₁₀ alkenyl, C₃₋₁₀ alkynyl, C₁₋₁₀ haloalkyl, C₂₋₈ alkoxyalkyl, C₄₋₁₂ cycloalkylalkyl, C₅₋₁₀ cycloalkenyl, and C₆₋₁₄ cycloalkenylalkyl;

b

R⁶, R^{6a} and R⁷ are substituted with 0-6 [substitutents] substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy [or] and C₁₋₄ haloalkyl;

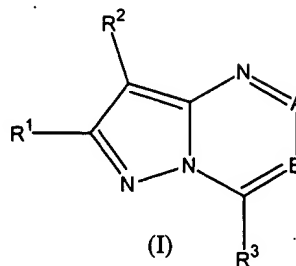
with the proviso that the compounds of Formula I with R¹, R², R³, R⁴ and R⁵ as specifically defined below are excluded:

- (a) a compound of formula I wherein [A = CR⁵ with] R⁵ is o-hydroxyphenyl, [B = N,] R³ = o-hydroxyphenyl, R¹ = SMe and R² = CN ;
- (b) a compound of formula I wherein [A = CR⁵,] R⁵ = CH₃, [B = N,] R¹ = Ph, R² = Br and R³ is Ph;
- [(c) a compound of formula I wherein A = CR⁵, R⁵ = p-Cl-phenyl, B = N, R¹ = Me, R² = H and R³ = p-CF₃-phenyl;
- (d) a compound of formula I wherein A = CR⁵, R⁵ = phenyl, B = N, R¹ = Me, R² = H and R³ = p-CF₃-phenyl;]
- (e) a compound of formula I wherein [A = CR⁵,] R⁵ = ethyl, [B = N,] R¹ = Me, R² = H and R³ = [N-methyl-piperiazin-N-yl] N-methyl-piperazin-N-yl;
- (f) a compound of formula I wherein [A = CR⁵,] R⁵ is p-Cl-Ph, R¹ = H, R² = H and R³ = p-CF₃-Ph ;
- (g) a compound of formula I wherein [A = CR⁵,] R⁵ = p-Cl-Ph, R¹ = CH₃, R² = H, R³ = p-CF₃-Ph ;
- (h) a compound of formula I wherein [A = CR⁵,] R⁵ = Ph, R¹ = Me, R² = H, R³ = p-CF₃-Ph ;
- (i) a compound of formula I wherein [A = CR⁵,] R⁵ = Ph, R¹ = H, R² = H, R³ = p-CF₃-Ph ;
- (j) a compound of formula I wherein [A = CR⁵,] R³ = Ph and R² is H, Br, CN, CO₂Et or Cl ;

b

(k) a compound of formula I wherein $[A = CR^5]$, $R^5 = CH_3, C_2H_5$ or Ph, $R^1 = H$, $R^2 = H$ and $R^3 = Ph$.

2. (amended once) A compound of formula I:



or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

A [equals N or] is CR^5 ;

B [equals] is N [or CR^4];

provided that both A and B cannot be N or

provided that A can not be CR^5 and B can not be CR^4 to form a pyrazolopyrimidine; and
wherein,]

R^1 is independently selected from the group consisting of

H,

halogen,

CN,

C_{1-6} alkyl,

C_{2-10} alkenyl,
 C_{2-10} alkynyl,
 C_{3-6} cycloalkyl,
 C_{1-6} alkyloxy,
 C_{1-6} alkylS(O)_n,
 $-NR^{1a}R^{1b}$ wherein R^{1a} and R^{1b} are independently selected from
H, C_{1-4} alkyl, C_{3-8} cycloalkyl, $-C(O)C_{1-4}$ alkyl,
 C_{1-6} alkylNR^{1a}R^{1b},
 $NR^{1a}COR^{1b}$,
 $-C(O)NR^{1a}R^{1b}$,
 $-O-C(O)C_{1-4}$ alkyl,

$-XR^{1c}$ wherein R^{1c} is selected from H or $-C_{1-4}$ alkylaryl;
X is selected from O or S(O)_n,

wherein R^1 is substituted with 0-6 substituents selected from halogen, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-6} alkyloxy, C_{1-4} haloalkyl, C_{1-4} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkyloxy, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl or C_{1-4} alkylsulfonyl;

R^2 is selected from the group consisting of
 OR^7 , SH, NR^6R^7 , $C(OH)R^6R^{6a}$, $C(OR^7)R^6R^{6a}$, $S(O)_nR^{13}$, COR^7 , CO_2R^7 , $CHR^6(OR^7)R^{6a}$,
 $OC(O)R^{13}$, NO, NO_2 , $NR^6C(O)R^7$, $N(COR^7)_2$, $NR^8CONR^6R^7$ or $NR^6CO_2R^7$; or R^2 is selected
from:

C_{1-10} alkyl,
 C_{2-10} alkenyl,
 C_{2-10} alkynyl,
 C_{3-8} cycloalkyl,
 C_{3-6} cycloalkyl C_{1-6} alkyl,
 C_{1-10} alkyloxy,

C_{1-10} alkyloxy C_{1-10} alkyl,
 $-SO_2-C_{1-10}$ alkyl
 $-SO_2R^{2a}$ wherein R^{2a} is aryl,
 $-SO_2R^{2b}$ wherein R^{2b} is heteroaryl,
 $-NR^{2c}R^{2d}$ wherein R^{2c} and R^{2d} are independently selected from H, C_{1-8} alkyl, $S(O)_nC_{1-4}$ alkyl,
 $C(O)NR^{2c}R^{2d}$, CO_2C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-6} alkyloxy C_{1-6} alkyl, $-C(O)C_{1-4}$ alkyl
 or R^{2c} and R^{2d} may join to form a heterocyclic ring having 0-3 heteroatoms selected
 from O, N or S,

$-C(O)-L$ wherein L is selected from H, $NR^{2c}R^{2d}$, and C_{1-6} alkyl $O(CH_2)_mOR$ wherein R is
 C_{1-3} alkyl, $O(CH_2)_m-NR^{2c}R^{2d}$, OH, $C(O)OC_{1-6}$ alkyl, or aryl or heteroaryl wherein m is 1-4; or

$-OC(O)-M$ wherein M is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{2-8} alkoxyalkyl,
 C_{3-6} cycloalkyl, C_{4-12} cycloalkylalkyl, aryl, C_{1-6} alkylaryl, heteroaryl, and C_{1-6} alkylheteroaryl;

n is 0, 1 or 2; and wherein

R^2 is substituted with 0-3 substituents independently
 selected from R' , R'' , R''' wherein R' , R'' and R''' are independently selected from C_{1-6} alkyl,
 C_{3-7} cycloalkyl, hydroxy C_{1-6} alkyl, C_{1-6} alkyloxy C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6}
 alkyloxy, and hydroxy, or

R^2 is substituted with 0-3 substituents independently selected from:

halogen,

-CN,

$-S(O)_nR^{2c}$ wherein R^{2c} is selected from C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkyloxy C_{1-4} alkyl, and C_{3-6}
 cycloalkyl;

-COR^{2f} wherein R^{2f} is selected from H, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl, and C₃₋₆ cycloalkyl C₁₋₄ alkyl;

-CO₂R^{2f},

-NR^{2g}COR^{2f} wherein R^{2g} is selected from H, C₁₋₆ alkyl, C₃₋₇ cycloalkyl, and C₃₋₆ cycloalkyl C₁₋₆ alkyl;

-N(COR^{2f})₂,

-NR^{2g}CONR^{2f}R^{2h}, wherein R^{2h} is selected from H, C₁₋₆ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl and C₃₋₆ cycloalkyl C₁₋₆ alkyl;

-NR^{2g}CO₂R^{2e},

-CONR^{2g}R^{2h},

1-morpholinyl,

1-piperidinyl,

1-piperazinyl,

and

C₃₋₈ cycloalkyl wherein 0-1 carbon atoms in the C₄₋₈ cycloalkyl is replaced by a group selected from

-O-, -S(O)_n-, -NR^{2g}-, -NCO₂R^{2e}-, -NCOR^{2e},

and -NSO₂R^{2e}; and wherein [N₄] N⁴ in

1-piperazinyl is substituted with 0-1

substituents selected from R^{2g}, CO₂R^{2e}, COR^{2e} and

SO₂R^{2e}; or

the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈

alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g},

-NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g}, and C₃₋₈ cycloalkyl which is

substituted with 0-1 R^{2i} and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-, wherein

R^{2i} is selected from aryl wherein aryl [includes] is selected from

phenyl, naphthyl, indanyl and indenyl, each

R^{2i} being substituted with 0-1 OR^{2m} and 0-5

substituents independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, -SH, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2n}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$;

R^{2j} is selected from heteroaryl wherein heteroaryl [includes] is selected from pyridyl,

pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl,

pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl,

pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl,

2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indolinyl, benzoxazolin-

2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon

atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, OR^{2m} , -SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heteroaryl

being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} , each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected

from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{2m}$,

-SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$,

$-NR^{2g}CONR^{2o}R^{2p}$, $NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heterocyclyl being

substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2f} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

wherein

R^{2l} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl [and] or C_{3-8} cycloalkyl;

R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{2q}S(O)_n-C_{1-4}$ alkyl [and] or $R^{2r}R^{2s}N-C_{2-4}$ alkyl;

R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, [and] or C_{1-4} haloalkyl;

R^{2o} and R^{2p} are independently selected at each occurrence from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl and C_{1-4} haloalkyl;

R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

$R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl wherein $[N_4]$ N^4 in 1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

R^3 is selected from an aryl or heteroaryl group attached through an unsaturated carbon atom;

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aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, methylenedioxy, C₁₋₄ alkyloxy-C₁₋₄ alkyloxy, -OR^{2m}, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, -NO₂, -SH, -S(O)_nR²ⁿ, -COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, -NR^{2g}CO₂R^{2h}, -NR^{2o}R^{2p} and CONR^{2o}R^{2p};

heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinoliny, isoquinoliny, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indoliny, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted at 0-4 carbon atoms with a substituent independently selected at each occurrence from [the group] C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, F, I, C₁₋₄ haloalkyl, -CN, NR^{2g}R^{2h}, nitro, -OR^{2m}, -SH, -S(O)_nR²ⁿ, COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, and -NR^{2g}CONR^{2o}R^{2p} and each heteroaryl being substituted at any nitrogen atom with 0-1 substituents selected from the group R^{2g}, CO₂R^{3a}, COR^{3a} and SO₂R^{3a} wherein,

R^{3a} is selected from the group C₁₋₆ alkyl, C₁₋₄ cycloalkyl-C₁₋₆ alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C₁₋₄ alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

R⁴ and R⁵ are independently selected at each occurrence from H, Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ and R⁵ non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆-alkyl and

C₁₋₆ haloalkyl, C₁₋₆ alkyl, C₃₋₇ c-alkyl, C₁₋₆ alkyl(OH)_nCO₂R wherein R is H or C₁₋₆ alkyl, C₁₋₆ alkyl(OH)_n, wherein n is 0-3 or R⁴ and R⁵ may join together to form a C₃₋₆ alkylene chain;

R⁶, R^{6a} and R⁷ are independently selected from:

H, C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₃₋₁₀ alkenyl, C₃₋₁₀ alkynyl, C₁₋₁₀ haloalkyl, C₂₋₈ alkoxyalkyl, C₄₋₁₂ cycloalkylalkyl, C₅₋₁₀ cycloalkenyl, and C₆₋₁₄ cycloalkenylalkyl; and

R⁶, R^{6a} and R⁷ are substituted with 0-6 substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, and C₁₋₄ haloalkyl.

4. (amended once) The compound according to Claim [1, 2 or 3] 1 or 2 wherein

R¹ is selected from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, and -XR^{1c} wherein R¹ is substituted with 0-6 substituents selected from halogen, C₁₋₄ alkyl or C₁₋₄ haloalkyl;

R² is selected from C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₈ cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl, and -NR^{2c}R^{2d} wherein R² is unsubstituted or substituted with 1-3 [substituents] substituents independently selected from the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g}, -NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g}, and C₃₋₈ cycloalkyl which is substituted with 0-1 R^{2l} and in [wich] which 0-1 carbons of C₄₋₈ cycloalkyl is replaced by -O-.

5. (amended once) The compound according to [Claims 1, 2, 3 or 4] Claim 1 or 2 wherein R³ is [selected from an aryl group] phenyl substituted with 0-5 substituents independently selected at each occurrence from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, methylenedioxy, C₁₋₄ alkyloxy-C₁₋₄ alkyloxy, -OR^{2m}, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, -NO₂, -SH, -S(O)_nR²ⁿ, -COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, -NR^{2g}CO₂R^{2h}, -NR^{2o}R^{2p} and CONR^{2o}R^{2p}. [selected from phenyl or substituted versions thereof or a heteroaryl group selected from] or pyridyl substituted at 0-4 carbon atoms with a substituent independently selected from C₁₋₆ alkyl,

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C₃₋₆ cycloalkyl, Br, F, I, C₁₋₄ haloalkyl, -CN, NR^{2g}R^{2h}, nitro, -OR^{2m}, -SH, -S(O)_nR²ⁿ, COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, and -NR^{2g}CONR^{2o}R^{2p} [or substituted versions thereof].

6. (amended once) The compounds according to [Claims 1,2,3,4 or 5] Claim 1 or 2 wherein R³ is substituted with 0-4 substituents independently selected from halogen, C₁₋₄ alkyloxy, C₁₋₆ alkyl [or] and NR'R'' wherein R' and R'' are independently selected from H [or] and C₁₋₆ alkyl.

8. (amended once) The compound according to [Claims 1-7] Claim 1 or 2 wherein R² is selected from 3-pentyl, NEt₂, butyl, NHCH(CH₂OMe)₂, NHCH(CH₂OEt)₂, NHCH(Et)CH₂OMe, NH-3-heptyl, NH-3-pentyl, NH-2-butyl, NH-3-hexyl, NHCH(CH₂Ph)CH₂OMe, NHCH(Et)CH₂CH₂OMe, NH-cyclobutyl, NH-cyclopentyl, NEtPr, NEtBu, NMePr, NMePh, NPr₂, NPr(CH₂-c-C₃H₅), N(CH₂CH₂OMe)₂, morpholino, N(CH₂Ph)CH₂CH₂OMe, N(Me)CH₂CH₂OMe, N(Et)CH₂CH₂OMe, N(CH₂-c-C₃H₅)CH₂CH₂OMe, N(CH₂-c-C₃H₅)Pr, N(CH₂-c-C₃H₅)Et, OEt, OCH(Et)CH₂OMe, OCH(Et)CH₂CH₂OMe, OCH(Me)CH₂CH₂OMe, O-3-pentyl, O-2-pentyl, S-3-pentyl, S-2-pentyl, SEt, S(O)Et, SO₂Et, S-3-pentyl, S(O)-3-pentyl, SO₂-3-pentyl, S-2-pentyl, S(O)-2-pentyl, SO₂-2-pentyl, CH(CO₂Et)₂, C(Et)(CO₂Et)₂, CH(Et)CH₂OH, CH(Et)CH₂OMe, CH(Et)CH₂CH₂OMe, CONMe₂, COCH₃, COEt, COPr, CO-2-pentyl, CO-3-pentyl, CH(OH)CH₃, C(OH)Me₂, C(OH)Ph-3-pyridyl, CH(OMe)CH₃, CH(OMe)Et, CH(OMe)Pr, CH(OEt)CH₃, CH(OPr)CH₃, 2-pentyl, 2-butyl, cyclobutyl, cyclopentyl, CH(Me)cyclobutyl, CH(OMe)cyclobutyl, CH(OH)cyclobutyl, CH(Me)cyclopropyl, CH(OMe)cyclopropyl, CH(OH)cyclopropyl, CH(Et)cyclobutyl, CH(Et)cyclopropyl, CH(OMe)cyclobutyl, CH(OMe)cyclopropyl, CH(OEt)cyclobutyl, CH(OEt)cyclopropyl, CH(Me)CH₂-cyclobutyl, CH(OMe)CH₂-cyclobutyl, CH(OH)CH₂-cyclobutyl, CH(Me)CH₂-cyclopropyl, CH(OMe)CH₂-cyclopropyl, CH(OH)CH₂-cyclopropyl, CH(Et)CH₂-cyclobutyl, CH(Et)CH₂-cyclopropyl, CH(OMe)CH₂-cyclobutyl, CH(OMe)CH₂-cyclopropyl, CH(OEt)CH₂-cyclobutyl, CH(OEt)CH₂-cyclopropyl, CH(CH₂OMe)cyclobutyl, CH(CH₂OMe)cyclopropyl, CH(CH₂OEt)cyclobutyl, CH(CH₂OEt)cyclopropyl, CH(cyclobutyl)₂, CH(cyclopropyl)₂,

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CH(Et)CH₂CONMe₂, CH(Et)CH₂CH₂NMe₂, CH(CH₂OMe)Me, CH(CH₂OMe)Et,
CH(CH₂OMe)Pr, CH(CH₂OEt)Me, CH(CH₂OEt)Et, CH(CH₂OEt)Pr, CH(CH₂C=CMc)Et, and
CH(CH₂C=CMc)Et.

13. (amended once) A pharmaceutical composition comprising a compound according to
[Claims 1-10] Claim 1 or 2 and a pharmaceutically acceptable carrier.